525/403.000; 525/405.000; 525/450.000; 525/462.000; 525/472.000;
527/200.000; 527/300.000
IC [3]
ICM: C08L005-02
ICS: C08L051-00; C08L071-02; C08L079-00
EXF 525/415; 525/54.1; 525/403; 525/410; 525/411; 525/412; 525/54.2; 525/57;
525/462; 525/450; 525/154; 525/405; 525/472; 525/386; 527/200; 527/300
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L13 ANSWER 375 OF 378 USPATFULL on STN
AN 83:57530 USPATFULL
TI Nonapeptide and decapeptide analogs of LHRH, useful as LHRH antagonists
IN Nestor, John J., San Jose, CA, United States
Jones, Gordon H., Cupertino, CA, United States
Vickery, Brian H., Cupertino, CA, United States
PA Syntex (U.S.A.) Inc., Palo Alto, CA, United States (U.S. corporation)
PI US 4419347 19831206
AI US 1982-366635 19820408 (6)
RLI Continuation of Ser. No. US 1980-194180, filed on 6 Oct 1980, now
patented, Pat. No. US 4341767, issued on 27 Jul 1982
DT Utility
FS Granted
LN.CNT 1298
INCL INCLM: 424/177.000
INCLS: 260/112.500LH
NCL NCLM: 514/748.000
NCLS: 514/800.000; 530/313.000; 530/328.000; 930/020.000; 930/021.000;
930/023.000; 930/130.000
IC [3]
ICM: A61K037-00
ICS: C07C103-52
EXF 260/112.5LH; 424/177
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L13 ANSWER 376 OF 378 USPATFULL on STN
AN 82:36461 USPATFULL
TI Nonapeptide and decapeptide analogs of LHRH, useful as LHRH antagonists
IN Nestor, John J., San Jose, CA, United States
Jones, Gordon H., Cupertino, CA, United States
Vickery, Brian H., Cupertino, CA, United States
PA Syntex Inc., Palo Alto, CA, United States (U.S. corporation)
PI US 4341767 19820727
AI US 1980-194180 19801006 (6)
DT Utility
FS Granted
LN.CNT 1267
INCL INCLM: 424/177.000
INCLS: 260/112.500LH
NCL NCLM: 514/015.000
NCLS: 514/800.000; 530/313.000; 930/020.000; 930/021.000; 930/130.000;
930/DIG.695; 930/DIG.697
IC [3]
ICM: A61K037-00
ICS: C07C103-52
EXF 260/112.5LH; 424/177
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L13 ANSWER 377 OF 378 USPATFULL on STN
AN 82:11200 USPATFULL
TI Nonapeptide and decapeptide agonists of luteinizing **hormone**
releasing **hormone** containing heterocyclic amino acid residues
IN Nestor, John J., San Jose, CA, United States
Jones, Gordon H., Cupertino, CA, United States
Vickery, Brian H., Cupertino, CA, United States

PA Syntex (U.S.A.) Inc., Palo Alto, CA, United States (U.S. corporation)
PI US 4318905 19820309
AI US 1980-162455 19800623 (6)
DT Utility
FS Granted
LN.CNT 1917
INCL INCLM: 424/177.000
INCLS: 260/112.500LH
NCL NCLM: 514/015.000
NCLS: 514/800.000; 530/313.000; 930/020.000; 930/021.000; 930/023.000; 930/130.000; 930/DIG.695; 930/DIG.698
IC [3]
ICM: A61K037-00
ICS: C07C103-52
EXF 260/112.5LH; 424/177
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L13 ANSWER 378 OF 378 USPATFULL on STN
AN 80:57802 USPATFULL
TI Nonapeptide and decapeptide derivatives of luteinizing **hormone** releasing **hormone**
IN Nestor, John J., San Jose, CA, United States
Jones, Gordon H., Cupertino, CA, United States
Vickery, Brian H., Cupertino, CA, United States
PA Syntex (U.S.A.) Inc., Palo Alto, CA, United States (U.S. corporation)
PI US 4234571 19801118
AI US 1979-47661 19790611 (6)
DT Utility
FS Granted
LN.CNT 1319
INCL INCLM: 424/177.000
INCLS: 260/112.500LH
NCL NCLM: 514/015.000
NCLS: 514/800.000; 530/313.000; 930/021.000; 930/023.000; 930/120.000; 930/130.000
IC [1]
ICM: A61K037-00
ICS: C07C103-52
EXF 260/112.5LH; 424/177
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

=> d l13 378 kwic

L13 ANSWER 378 OF 378 USPATFULL on STN
TI Nonapeptide and decapeptide derivatives of luteinizing **hormone** releasing **hormone**
SUMM Luteinizing **hormone** (LH) and follicular stimulating **hormone** (FSH) are released from the anterior pituitary gland under the control of the releasing **hormone** LH-RH produced in the hypothalamic region. LH and FSH act on the gonads to stimulate the synthesis of steroid **hormones** and to stimulate gamete maturation. The pulsatile release of LH-RH, and thereby the release of LH and FSH, controls the. . .
SUMM The natural **hormone** releasing **hormone** LH-RH is a decapeptide comprised of naturally occurring amino acid (which have the L-configuration except for the achiral amino acid. . .
SUMM It would be desirable to **prepare** further analogues of LH-RH which have even a higher degree of biological activity than those heretofore described and which can. . .
SUMM therapy for diseases which result from excessive gonadal **hormone** production in either sex;
SUMM . . . Easton, PA., 1970. Formulations for parenteral administration may contain as common excipients sterile water or saline, polyalkylene

glycols such as **polyethylene glycol**, oils of vegetable origin, hydrogenated naphthalenes and the like. Formulations for vaginal or rectal administration, e.g. suppositories, may contain as. . .

SUMM . . . injection would contain the compound or salt dispersed or encapsulated in a slow degrading, non-toxic, non-antigenic polymer such as a **polylactic acid/polyglycolic acid** polymer for example as described in U.S. Pat. No. 3,773,919. The compounds or, preferably, relatively insoluble salts such as those. . . release, depot or implant formulations, e.g. liposomes, are well known in the literature. See, for example, "Sustained and Controlled Release **Drug** Delivery Systems", J. R. Robinson ed., Marcel Dekker, Inc., New York, 1978. Particular reference with respect to LH-RH type compounds. . .

SUMM . . . Stewart and J. D. Young, "Solid Phase Peptide Synthesis", W. H. Freeman Co., San Francisco, 1969, and J. Meienhofer, "Hormonal **Proteins** and Peptides", Vol. 2, p. 46., Academic Press (New York), 1973 for solid phase peptide synthesis and E. Schroder and. . .

SUMM . . . 12 hours at a temperature of between about 10° and 50° C., preferably 25° C. in a solvent such as **dichloromethane** or DMF, preferably dichlormethane. The coupling of successive protected amino acids can be carried out in an automatic polypeptide synthesizer. . . chloride, hydrogen chloride in dioxane, hydrogen chloride in acetic acid, or other strong acid solution, preferably 50% trifluoroacetic acid in **dichloromethane** at about ambient temperature. Each protected amino acid is preferably introduced in approximately 2.5 molar excess and the coupling may be carried out in **dichloromethane**, **dichloromethane**/DMF mixtures, DMF and the like, especially in methylene chloride at about ambient temperature. The coupling agent is normally DCC in **dichloromethane** but may be N,N'-di-iso-propylcarbodiimide or other carbodiimide either alone or in the presence of HBT, N-hydroxysuccinimide, other N-hydroxyimides or oximes.. . .

SUMM . . . for 1 hour and then cooled. The ethanol was removed under reduced pressure and the residue was taken up in **ethyl acetate**. The organic layer was washed with two 50 mL. portions of water, one 50 mL. portion of saturated sodium chloride. . .

SUMM A solution of 18.2 g. 1,1-diphenylethylene, 25.3 g. methyl α-methoxy-N-benzyloxycarbonylglycinate, and 1.5 g. 2-naphthalenesulfonic acid in 300 mL. **dry** benzene was refluxed for 2 days. The crude product was purified on a column of silicic acid using a gradient. . .

SUMM To a solution of 15 g. of this N-acetyl amino acid in 240 mL. of **dry** methanol was added 15.8 mL. of boron trifluoride etherate and the mixture was refluxed for 1 hour. The alcohol was evaporated, 200 mL water was added and the solution was extracted with **ethyl acetate**. The organic layer was washed with aqueous base and acid, dried over MgSO.sub.4, filtered, and stripped to an oil. Crystallization of this oil from **ethyl acetate** /hexane gave 14.2 g. of methyl N-acetyl-3-(2-naphthyl)-D,L-alaninate, m.p. 79°-80° C.

SUMM . . . taken up and the hydrolysis was stopped. The solution was made basic with 12 g. NaHCO.sub.3 and was extracted with **ethyl acetate**. The organic layer contained methyl N-acetyl-3-(2-naphthyl)-D-alaninate. Crystallization from **ethyl acetate**/hexane gave a yellow solid, m.p. 80°-81° C.

SUMM A **stirred** solution of 3-(2-naphthyl)-D-alanine in a mixture of 55 ml of 1 N NaOH, 10 ml H.sub.2 O, and 20 ml. . . of di-tert-butyl dicarbonate was added and the mixture was allowed to come to room temperature. The solid was removed by **filtration** and the **filtrate** was concentrated to 50 ml. This aqueous solution was brought to pH 2.5 with NaHSO.sub.4 and extracted with **ethyl acetate**. The organic layer was washed with 5% NaHSO.sub.4, water

and saturated salt solution. The **ethyl acetate** solution was dried over magnesium sulfate, filtered and concentrated to an oil which was crystallized from ether/hexane to yield 1.3. . .

SUMM . . . to dissolve the white precipitate and was filtered through diatomaceous earth. Concentration of the solution at reduced pressure followed by **lyophilization** from water yielded 0.8 g. of 3-(2-perhydronaphthyl)-D-alanine as a white solid of mp 230°-232° C.

SUMM . . . water, washed with diethyl ether, and acidified to pH2 with NaHSO.sub.4. The acidified aqueous layer was extracted three times with **ethyl acetate** and the extracts were combined, dried over MgSO.sub.4, filtered, and concentrated to give 0.75 g. of N-Boc-3-(2-perhydronaphthyl)-D-alanine as white oil.

SUMM . . . reaction was quenched with 1 ml acetic acid, the solvent was evaporated and the residue was partitioned between 75 ml. **ethyl acetate** and 75 ml. water. The organic layer was washed with 5% NaHCO.sub.3, water, 5% NaHSO.sub.4, water, saturated NaCl solution, and. . . and loaded on a preparative thin layer chromatography plate (750μ thick, silica gel, 20+20 cm.). The plate was developed with **dichloromethane/ethyl acetate** (18/1) and the product band was removed. The silica gel from the product band was washed with **dichloromethane/ethyl acetate** (9:1) on a fritted glass funnel and the **filtrate** was concentrated to give 0.1 g. of methyl N-Boc-3-(2-perhydronaphthyl)-D-alaninate as a light yellow oil.

SUMM . . . These diastereomeric compounds may be separated on a high performance liquid chromatography column (Lichrosorb silica gel 60, 5 micron) with **ethyl acetate**/hexane (1:9) as eluent and hydrolyzed to the free acid, N-Boc-3-(2-perhydronaphthyl)-D-alanine.

DETD . . . 20 mL. of redistilled (from CoF.sub.3) anhydrous liquid HF at 0° C. for 30 minutes. The HF was evaporated under **vacuum** and the residue of (pyro)-Glu-His-Trp-Ser-Tyr-3-(2-naphthyl)-D-alanyl-Leu-Arg-Pro-Gly-NH.sub.2, as its HF salt, was washed with ether. The residue was then extracted with glacial acetic acid. The acetic acid extract was **lyophilized** to yield 0.8 g. of crude material.

DETD . . . mL. to 1,400 mL. (Rf 0.1). The pure fractions were pooled, stripped to dryness, taken up in H.sub.2 O, and **lyophilized** to yield 57 mg of pure pyro-glutamyl-histidyl-tryptophylseryl-tyrosyl-3-(2-naphthyl)-D-alanyl-leucyl-arginylprolyl-glycinamide, as its acetic acid addition salt, [α].sub.D.sup.25 -27.4° (c 0.9, HOAc), m.p. 185°-193°. . .

DETD . . . 990 Synthesizer reaction vessel was loaded with 2.13 g. of Boc-Pro-O-Resin, prepared by the reaction of equimolar ratios of the **dry** cesium salt of Boc-Pro-OH with chloromethyl-polystyrene/1% divinylbenzene (Lab Systems, Inc.). The quantity of Boc-Pro-O-Resin taken contained 1.4 mmol. of proline.

DETD . . . CoF.sub.3) anhydrous liquid HF at 0° C. for 30 minutes in a Kel-F reaction vessel. The HF was evaporated under **vacuum** and the residue was washed with ether. The residue was dissolved in 2 M acetic acid and **lyophilized** to yield 0.82 g. of crude (pyro)-Glu-His-Trp-Ser-Tyr-3-(2-naphthyl)-D-alanine-Leu-Arg-Pro-NH-CH.sub.2 CH.sub.3 as its acetic acid addition salt. Final purification was achieved by preparative. . . 0.03 M NH.sub.4 OAc/36% acetonitrile. In four runs a total of 61 mg. of crude material was purified. After three **lyophilizations** from water, 15 mg. of pure pyroglutamyl-histidyl-tryptophyl-seryl-tyrosyl-3-(2-naphthyl)-D-alanyl-leucyl-arginyl-proline ethylamide was obtained as its acetic acid addition salt, m.p. 180°-190° C., [α].sub.D.sup.25. . .

DETD . . . equilibrated with acetic acid and washed with deionized water. The column is eluted with deionized water and the effluent is **lyophilized** to yield the corresponding acetic acid salt of (pyro)Glu-His-Trp-Ser-Tyr-3-(2-naphthyl)-D-Ala-Leu-Arg-Pro-Gly-NH.sub.2, [α].sub.D.sup.25 -27.5° (c 0.9,. HOAc).

DETD The resultant suspension was diluted with 1 mL water and the precipitate was **centrifuged**. A supernatant was decanted and the residue was washed twice with 1 mL portions of water by **centrifugation** of the precipitate and decantation of the supernatant. The precipitate was dried in vacuo to yield 15 mg of the. . .

DETD . . . 0.25 M NaOH. The solvents were removed at reduced pressure and the residue was suspended in 2 mL of water, **centrifuged**, and the supernatant was decanted. The precipitate was washed with 1.5 mL H.sub.2 O, **centrifuged**, and the supernatant was decanted. The precipitate was dried in vacuo to yield 54 mg of the pamoate salt of. . .

DETD . . . mg of (pyro)Glu-His-Trp-Ser-Tyr-3-(2-naphthyl)-D-Ala-Leu-Arg-Pro-Gly-NH.sub.2 as the free base is added 30 mL of 1 N acetic acid. The resulting solution is **lyophilized** to yield 50 mg. of the acetic acid salt of the above-named LH-RH analogue.

DETD . . . mL ethanol was added a solution of 15 mg of ZnSO.sub.4 heptahydrate in 0.2 mL of water. The precipitate was **centrifuged** and the supernatant was decanted. The precipitate was washed with 1 mL of water by **centrifugation** and decantation of the supernatant. The precipitate was dried in vacuo to yield 48 mg of the zinc salt of. . .

DETD . . . solution to make the counter ion hydroxide. The column is eluted with 150 ml of water and the eluant is **lyophilized** to yield 45 mg of the corresponding polypeptide as the free base.

DETD . . . the sugar portion of the excipients. After complete mixing, the granulation is dried in a tray or fluid-bed dryer. The **dry** granulation is then screened to break up any large aggregates and then mixed with the remaining components. The granulation is. . .

DETD The aluminum monostearate is combined with the sesame oil and heated to 125° C. with **stirring** until a clear yellow solution forms. This mixture is then autoclaved for sterility and allowed to cool. The LH-RH analogue. . .

DETD

2. Long Acting I.M. Injectable - **Biodegradable** Polymer **Microcapsules**

LH-RH Analogue	1%
25/75 glycolide/lactide copolymer (0.5 intrinsic viscosity)	99%

DETD **Microcapsules** (0-150μ) of above formulation suspended in:

DETD 25 mg of **microcapsules** would be suspended in 1.0 ml of vehicle.

87:20521 USPATFULL
TI Prolonged release **microcapsule** and its production
IN Okada, Hiroaki, Suita, Japan
Ogawa, Yasuaki, Ibaraki, Japan
Yashiki, Takatsuka, Takarazuka, Japan
PA Takeda Chemical Industries, Ltd., Osaka, Japan (non-U.S. corporation)
PI US 4652441 19870324
AI US 1984-667096 19841101 (6)
PRAI JP 1983-207760 19831104
DT Utility
FS Granted
LN.CNT 996
INCL INCLM: 424/019.000
INCLS: 264/004.600; 424/085.000; 424/DIG.015; 428/402.200; 514/002.000; 514/800.000; 514/822.000; 514/963.000
NCL NCLM: 424/497.000
NCLS: 264/004.600; 424/DIG.015; 428/402.200; 514/002.000; 514/800.000; 514/822.000; 514/963.000
IC [4]
ICM: A61K009-52
ICS: B01J013-02
EXF 264/4.6; 428/402.2; 424/19; 424/35; 514/963
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L13 ANSWER 373 OF 378 USPATFULL on STN
AN 85:43223 USPATFULL
TI Nonapeptide and decapeptide analogs of LHRH, useful as LHRH agonist
IN Nestor, John J., San Jose, CA, United States
Vickery, Brian H., Cupertino, CA, United States
PA Syntex (U.S.A.) Inc., Palo Alto, CA, United States (U.S. corporation)
PI US 4530920 19850723
AI US 1983-549355 19831107 (6)
DT Utility
FS Granted
LN.CNT 1272
INCL INCLM: 514/015.000
INCLS: 514/800.000; 260/112.500R
NCL NCLM: 514/015.000
NCLS: 514/800.000; 530/328.000; 930/020.000; 930/021.000; 930/130.000; 930/DIG.691; 930/DIG.694; 930/DIG.695; 930/DIG.698
IC [3]
ICM: C07C103-52
ICS: A61K037-02
EXF 260/112.5R; 260/112.5LH
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L13 ANSWER 374 OF 378 USPATFULL on STN
AN 85:38903 USPATFULL
TI Continuous release formulations
IN Churchill, Jeffrey R., Northwich, United Kingdom
Hutchinson, Francis G., Lymm, United Kingdom
PA Imperial Chemical Industries PLC, London, England (non-U.S. corporation)
PI US 4526938 19850702
AI US 1983-485454 19830415 (6)
PRAI GB 1982-11704 19820422
DT Utility
FS Granted
LN.CNT 564
INCL INCLM: 525/415.000
INCLS: 525/054.100; 525/054.200; 525/154.000; 525/386.000; 525/403.000; 525/405.000; 525/450.000; 525/462.000; 525/472.000; 525/057.000; 527/200.000; 527/300.000
NCL NCLM: 525/415.000
NCLS: 525/054.100; 525/054.200; 525/057.000; 525/154.000; 525/386.000;

L13 ANSWER 328 OF 378 USPATFULL on STN
AN 97:56374 USPATFULL
TI Prolonged release **microcapsules**
IN Okada, Hiroaki, Suita, Japan
Inoue, Yayoi, Kyoto, Japan
Ogawa, Yasuaki, Otokuni-gun, Japan
PA Takeda Chemical Industries, Ltd., Osaka, Japan (non-U.S. corporation)
PI US 5643607 19970701
AI US 1995-458679 19950602 (8)
RLI Division of Ser. No. US 1994-188918, filed on 31 Jan 1994, now patented, Pat. No. US 5480656 which is a continuation of Ser. No. US 1991-649727, filed on 1 Feb 1991, now abandoned
PRAI JP 1990-33133 19900213
DT Utility
FS Granted
LN.CNT 574
INCL INCLM: 424/493.000
INCLS: 424/461.000; 424/489.000; 514/002.000; 514/003.000; 514/016.000; 514/020.000; 514/937.000
NCL NCLM: 424/493.000
NCLS: 424/461.000; 424/489.000; 514/002.000; 514/003.000; 514/016.000; 514/020.000; 514/937.000
IC [6]
ICM: A61K009-52
ICS: A61K009-62
EXF 424/426; 424/455; 424/457; 424/491; 424/493; 424/497; 528/354; 528/361; 528/499; 514/2; 514/3; 514/16; 514/20; 514/937
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L13 ANSWER 329 OF 378 USPATFULL on STN
AN 97:51735 USPATFULL
TI Sustained release formulations of water soluble peptides
IN Bodmer, David, Klingnau, Switzerland
Fong, Jones W., Parsippany, NJ, United States
Kissel, Thomas, Staufen, Germany, Federal Republic of
Maulding, Hawkins V., Mendham, NJ, United States
Nagele, Oskar, Sissach, Switzerland
Pearson, Jane E., Ogendensburg, NJ, United States
PA Sandoz Ltd., Basel, Switzerland (non-U.S. corporation)
PI US 5639480 19970617
AI US 1995-470072 19950606 (8)
RLI Continuation of Ser. No. US 1991-643880, filed on 18 Jan 1991, now patented, Pat. No. US 5538739 which is a continuation-in-part of Ser. No. US 1989-411347, filed on 22 Sep 1989, now abandoned which is a continuation-in-part of Ser. No. US 1989-377023, filed on 7 Jul 1989, now abandoned
PRAI HU 1990-3974 19900625
DT Utility
FS Granted
LN.CNT 910
INCL INCLM: 424/501.000
INCLS: 424/486.000; 424/426.000
NCL NCLM: 424/501.000
NCLS: 424/426.000; 424/486.000
IC [6]
ICM: A61K009-14
EXF 424/499; 424/501; 424/486; 424/426; 514/11; 530/311
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L13 ANSWER 330 OF 378 USPATFULL on STN
AN 97:42652 USPATFULL
TI Method for producing **microcapsule**
IN Okada, Hiroaki, Osaka, Japan

Ogawa, Yasuaki, Osaka, Japan
Yashiki, Takatsuka, Hyogo, Japan
PA Takeda Chemical Industries, Ltd., Osaka, Japan (non-U.S. corporation)
PI US 5631021 19970520
AI ~~US 1996-604022~~ 19960220 (8)
RLI Division of Ser. No. US 1995-468657, filed on 6 Jun 1995 which is a division of Ser. No. US 1994-228452, filed on 15 Apr 1994, now patented, Pat. No. US 5476663 which is a continuation of Ser. No. US 1991-748423, filed on 22 Aug 1991, now abandoned which is a division of Ser. No. US 1990-469784, filed on 24 Jan 1990, now patented, Pat. No. US 5061492 which is a division of Ser. No. US 1987-103117, filed on 30 Sep 1987, now patented, Pat. No. US 4917893 which is a division of Ser. No. US 1986-940614, filed on 11 Dec 1986, now patented, Pat. No. US 4711782 which is a division of Ser. No. US 1984-667096, filed on 14 Nov 1984, now patented, Pat. No. US 4652441
PRAI JP 1983-207760 19831104
DT Utility
FS Granted
LN.CNT 1024
INCL INCLM: 424/451.000
INCLS: 424/452.000; 424/486.000; 424/489.000; 424/423.000; 424/425.000; 424/497.000; 428/402.210; 428/402.240; 514/777.000; 514/952.000; 514/963.000; 514/965.000; 514/002.000
NCL NCLM: 424/451.000
NCLS: 424/423.000; 424/425.000; 424/452.000; 424/486.000; 424/489.000; 424/497.000; 428/402.210; 428/402.240; 514/002.000; 514/777.000; 514/952.000; 514/963.000; 514/965.000
IC [6]
ICM: A61K009-14
ICS: A61K009-50; A61K009-52
EXF 424/451; 424/452; 424/489; 424/497; 424/486; 424/423; 424/425
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

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NDEXING IS AVAILABLE FOR THIS PATENT.

L13 ANSWER 35 OF 378 USPATFULL on STN
AN 2003:289217 USPATFULL
TI ANTI-ANGIOGENIC COMPOSITIONS AND METHODS OF USE
IN HUNTER, WILLIAM L., VANCOUVER, CANADA
MACHAN, LINDSAY S., VANCOUVER, CANADA
ARSENAULT, A. LARRY, PARIS, CANADA
PI US 2003203976 A1 20031030
AI US 1995-486867 A1 19950607 (8)
RLI Division of Ser. No. US 1995-417160, filed on 3 Apr 1995, ABANDONED
Continuation-in-part of Ser. No. US 1993-94536, filed on 19 Jul 1993, ABANDONED
PRAI WO 1994-CA373 19940719
DT Utility
FS APPLICATION
LN.CNT 5235
INCL INCLM: 514/772.300
NCL NCLM: 514/772.300
IC [7]
ICM: A61K047-30
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L13 ANSWER 36 OF 378 USPATFULL on STN
AN 2003:288282 USPATFULL
TI

```
6 FILES SEARCHED...
L4        10713 (POLYETHYLENE GLYCOL OR POLYETHYLENE OXIDE OR L3) AND (POLYLACTI
                C ACID OR POLYGLYCOLIC ACID OR L1 OR L2)

=> s l4 and (drug or bioactive or pesticide or hormone or protein or nucleotide or
herbicide)
   3 FILES SEARCHED...
  13 FILES SEARCHED...
  20 FILES SEARCHED...
  26 FILES SEARCHED...
L5         8751 L4 AND (DRUG OR BIOACTIVE OR PESTICIDE OR HORMONE OR PROTEIN
                OR NUCLEOTIDE OR HERBICIDE)

=> s l4(p)biodegrad?
PROX
```

```
=> e poly(glycolide)
E1            1     POLWIPLAST/BI
E2      1135198     POLY/BI
E3            0 --> POLY(GLYCOLIDE)/BI
E4            5     POLY1/BI
E5            5     POLY10/BI
E6            5     POLY11/BI
E7            5     POLY12/BI
E8            4     POLY13/BI
E9            2     POLY14/BI
E10           1     POLY15/BI
E11           2     POLY17/BI
E12           5     POLY2/BI

=> e polylactic acid
E1           12     POLYLACT/BI
E2            8     POLYLACTIC/BI
E3            0 --> POLYLACTIC ACID/BI
E4           10     POLYLACTIDE/BI
E5            1     POLYLACTO/BI
E6            1     POLYLACTOS/BI
E7            1     POLYLACTOSAMINE/BI
E8            1     POLYLACTOSE/BI
E9            1     POLYLACTYL/BI
E10           1     POLYLACTYLIC/BI
E11           1     POLYLAM/BI
E12           2     POLYLAN/BI

=> d e4
NO L# DEFINED

=> s e4
L1           10 POLYLACTIDE/BI

=> d  1

L1   ANSWER 1 OF 10  REGISTRY  COPYRIGHT 2004 ACS on STN
RN   500639-73-6  REGISTRY
CN   Enzyme (Amycolatopsis polylactide degrading N-terminal fragment)
     (9CI)  (CA INDEX NAME)
OTHER NAMES:
CN   1: PN: JP2003061676 SEQID: 1 claimed protein
FS   PROTEIN SEQUENCE
MF   Unspecified
CI   MAN
SR   CA
LC   STN Files:   CA, CAPLUS, TOXCENTER

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
*** USE 'SQD' OR 'SQIDE' FORMATS TO DISPLAY SEQUENCE ***
               1 REFERENCES IN FILE CA (1907 TO DATE)
               1 REFERENCES IN FILE CAPLUS (1907 TO DATE)


=> d 2

L1   ANSWER 2 OF 10  REGISTRY  COPYRIGHT 2004 ACS on STN
RN   500639-72-5  REGISTRY
CN   DNA (Amycolatopsis polylactide degrading enzyme N-terminal fragment
     gene) (9CI)  (CA INDEX NAME)
OTHER NAMES:
CN   2: PN: JP2003061676 SEQID: 2 claimed DNA
FS   NUCLEIC ACID SEQUENCE
```

MF Unspecified
CI MAN
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
*** USE 'SQD' OR 'SQIDE' FORMATS TO DISPLAY SEQUENCE ***
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> d 10

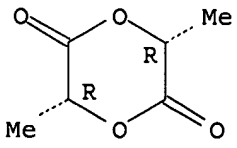
L1 ANSWER 10 OF 10 REGISTRY COPYRIGHT 2004 ACS on STN
RN 25038-75-9 REGISTRY
CN 1,4-Dioxane-2,5-dione, 3,6-dimethyl-, (3R,6R)-, homopolymer (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 1,4-Dioxane-2,5-dione, 3,6-dimethyl-, (3R-cis)-, homopolymer
CN p-Dioxane-2,5-dione, 3,6-dimethyl-, (+)-, polyesters (8CI)
OTHER NAMES:
CN (R)-Lactide homopolymer
CN D-Lactide homopolymer
CN **Isotactic polylactide**
CN Poly(D-lactide)
FS STEREOSEARCH
MF (C6 H8 O4)x
CI PMS, COM
PCT Polyester, Polyester formed
LC STN Files: BIOBUSINESS, BIOSIS, CA, CAPLUS, PIRA, PROMT, TOXCENTER, USPAT2, USPATFULL

RELATED POLYMERS AVAILABLE WITH POLYLINK

CM 1

CRN 13076-17-0
CMF C6 H8 O4

Absolute stereochemistry.



109 REFERENCES IN FILE CA (1907 TO DATE)
7 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
109 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> e polyglycolide
E1 1 POLYGLYCOLETHER/BI
E2 3 POLYGLYCOLIC/BI
E3 3 --> POLYGLYCOLIDE/BI
E4 1 POLYGLYCON/BI
E5 1 POLYGLYCONATE/BI
E6 1 POLYGLYCOPLEX/BI
E7 81 POLYGLYCOPROTEIN/BI
E8 1 POLYGLYCYL/BI
E9 1 POLYGLYCYLGLYCINE/BI
E10 2 POLYGLYKINE/BI

```
E11          1     POLYGLYOXAL/BI
E12          1     POLYGLYOXYL/BI

=> s e3
L2           3 POLYGLYCOLIDE/BI

=> d 1

L2   ANSWER 1 OF 3  REGISTRY  COPYRIGHT 2004 ACS on STN
RN   439279-67-1  REGISTRY *
* Use of this CAS Registry Number alone as a search term in other STN files may
  result in incomplete search results.  For additional information, enter HELP
  RN* at an online arrow prompt (=>).
CN   Polyester fibers, glycolide-lactide  (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN   Synthetic fibers, glycolide-lactide polymers
CN   Synthetic fibers, polymeric, glycolide-lactide
OTHER NAMES:
CN   Glycolide-lactide fiber
CN   Glycolide-lactide polymeric fibers
CN   Glycolide-lactide polymeric synthetic fibers
CN   Lactomer
CN   Polyglycolide-polylactide fiber
CN   Polysorb
CN   Polysorb (suture)
MF   Unspecified
CI   MAN, CTS
SR   CA

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***


=> s polyethylene glycol/cn
L3           1 POLYETHYLENE GLYCOL/CN

=> d

L3   ANSWER 1 OF 1  REGISTRY  COPYRIGHT 2004 ACS on STN
RN   25322-68-3  REGISTRY
CN   Poly(oxy-1,2-ethanediyl), α-hydro-ω-hydroxy- (9CI)  (CA INDEX
     NAME)
OTHER NAMES:
CN   α,ω-Hydroxypoly(ethylene oxide)
CN   α-Hydro-ω-hydroxypoly(oxy-1,2-ethanediyl)
CN   α-Hydro-ω-hydroxypoly(oxyethylene)
CN   1,2-Ethanediol, homopolymer
CN   1660O
CN   1660S
CN   400DAB8
CN   Alkox
CN   Alkox E 100
CN   Alkox E 130
CN   Alkox E 160
CN   Alkox E 240
CN   Alkox E 30
CN   Alkox E 45
CN   Alkox E 60
CN   Alkox E 75
CN   Alkox R 1000
CN   Alkox R 15
CN   Alkox R 150
CN   Alkox R 400
CN   Alkox SR
CN   Antarox E 4000
```

CN Aquacide III
CN Aquaffin
CN Badimol
CN BDH 301
CN Bradsyn PEG
CN Breox 2000
CN Breox 20M
CN Breox 4000
CN Breox 550
CN Breox PEG 300
CN CAFO 154
CN Carbowax
CN Carbowax 100
CN Carbowax 1000
CN Carbowax 1350
CN Carbowax 14000
CN Carbowax 1450
CN Carbowax 1500
CN Carbowax 1540
CN Carbowax 20
CN Carbowax 200
CN Carbowax 20000
CN Carbowax 25000
CN Carbowax 300
CN Carbowax 3350
CN Carbowax 400
CN Carbowax 4000
CN Carbowax 4500
CN **Polyethylene glycol**

ADDITIONAL NAMES NOT AVAILABLE IN THIS FORMAT - Use FCN, FIDE, or ALL for DISPLAY

AR 9002-90-8

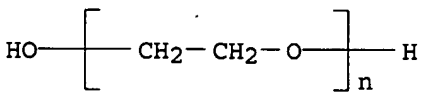
DR 615575-04-7, 12676-74-3, 12770-93-3, 9081-95-2, 9085-02-3, 9085-03-4, 54510-95-1, 125223-68-9, 54847-64-2, 59763-40-5, 64441-68-5, 64640-28-4, 133573-31-6, 25104-58-9, 25609-81-8, 134919-43-0, 101677-86-5, 99264-61-6, 106186-24-7, 112895-21-3, 114323-93-2, 50809-04-6, 50809-59-1, 119219-06-6, 60894-12-4, 61840-14-0, 37361-15-2, 112384-37-9, 70926-57-7, 75285-02-8, 75285-03-9, 77986-38-0, 150872-82-5, 154394-38-4, 79964-26-4, 80341-53-3, 85399-22-0, 85945-29-5, 90597-70-9, 88077-80-9, 88747-22-2, 34802-42-1, 107502-63-6, 107529-96-4, 116549-90-7, 156948-19-5, 169046-53-1, 188364-77-4, 188924-03-0, 189154-62-9, 191743-71-2, 201163-43-1, 206357-86-0, 221638-71-7, 225502-44-3, 270910-26-4, 307928-07-0, 356055-70-4, 391229-98-4

MF (C2 H4 O)n H2 O

CI PMS, COM

PCT Polyether

LC STN Files: ADISNEWS, AGRICOLA, ANABSTR, AQUIRE, BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CABA, CANCERLIT, CAPLUS, CASREACT, CBNB, CEN, CHEMCATS, CHEMINFORMRX, CHEMLIST, CHEMSAFE, CIN, CSCHEM, CSNB, DDFU, DETHERM*, DIOGENES, DRUGU, EMBASE, ENCOMPLIT, ENCOMPLIT2, ENCOMPPAT, ENCOMPPAT2, HSDB*, IFICDB, IFIPAT, IFIUDB, IPA, MEDLINE, MRCK*, MSDS-OHS, NIOSHTIC, PDLCOM*, PIRA, PROMT, RTECS*, SPECINFO, TOXCENTER, TULSA, ULIDAT, USAN, USPAT2, USPATFULL, VETU, VTB
(*File contains numerically searchable property data)
Other Sources: DSL**, TSCA**, WHO
(**Enter CHEMLIST File for up-to-date regulatory information)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

73171 REFERENCES IN FILE CA (1907 TO DATE)
18509 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
73279 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> file .ag, .drug,.patents, uspatall, scisearch, confsci, toxcenter, inspec, compendex, vetu, biotechno, jicst
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ENTER A FILE NAME OR (IGNORE):ignore

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	26.76	27.15

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L15 1 L13 AND RESLOW/IN

=> d

L15 ANSWER 1 OF 1 EUROPATFULL COPYRIGHT 2004 WILA on STN

GRANTED PATENT - ERTEILTES PATENT - BREVET DELIVRE

AN 1033973 EUROPATFULL ED 20030922 EW 200338 FS PS
TIEN ENCAPSULATION METHOD.
TIDE EINHUeLLUNGSSVERFAHREN.
TIFR PROCEDE D'ENCAPSULAGE.
IN LAAKSO, Timo, Boltensternsvaeg 33D, S-236 38 Hoellviken, SE;
RESLOW, Mats, Bondevaegen 45, S-227 38 Lund, SE
PA JAGOTEC AG, Eptingerstrasse 51, 4132 Muttenz, CH
SO Wila-EPS-2003-H38-T1
DS R AT; R BE; R CH; R DE; R DK; R ES; R FI; R FR; R GB; R GR; R IE; R IT;
R LI; R LU; R NL; R PT; R SE
PIT EPB1 EUROPAEISCHE PATENTSCHRIFT (Internationale Anmeldung)
PI EP 1033973 B1 20030917
OD 20000913
AI EP 1998-948005 19980924
PRAI SE 1997-3874 19971023
RLI WO 98-SE1717 980924 INTAKZ
WO 99020253 990429 INTPNR
REP EP 52510 A2 US 4384975 A
US 4568559 A US 4652441 A
US 5407609 A
IC ICM A61K009-14
ICS A61K009-50 B01J013-00

=>

N' IS NOT A VALID FIELD CODE
L14 3 L13 AND LAAKSO/IN

=> d 1-3

L14 ANSWER 1 OF 3 EUROPATFULL COPYRIGHT 2004 WILA on STN

PATENT APPLICATION - PATENTANMELDUNG - DEMANDE DE BREVET

AN 1142569 EUROPATFULL UP 20020218 EW 200141 FS OS STA R
TIEN Coating of small particles.
TIDE Umhuelle kleine Partikel.
TIFR Enrobage de petites particules.
IN Gustafsson, Nils-Ove, Hippodromvaegen 7, 24650 Loeddekoepinge, SE;
Fyhr, Peter, Loejtnantsvaegen 9, 23732 Bjaerred, SE;
Laakso, Timo, 2 Rectory Road, Campton, Bedfordshire, SG17 5PF, GB;
Joensson, Monica, Sigvard Grubbes gata 1, 23040 Bara, SE
PA Biogram AB, P.O. Box 50577, 202 15 Malmoe, SE
SO Wila-EPZ-2001-H41-T1b
DS R AT; R BE; R CH; R DE; R DK; R ES; R FI; R FR; R GB; R GR; R IE; R IT; R LI; R LU; R NL; R PT; R SE
PIT EPA2 EUROPAEISCHE PATENTANMELDUNG
PI EP 1142569 A2 20011010
OD 20011010
AI EP 2001-117830 19960903
PRAI SE 1995-3672 19951019
RLI EP 869774 DIV
IC ICM A61K009-52

L14 ANSWER 2 OF 3 EUROPATFULL COPYRIGHT 2004 WILA on STN

GRANTED PATENT - ERTEILTES PATENT - BREVET DELIVRE

AN 1033973 EUROPATFULL ED 20030922 EW 200338 FS PS
TIEN ENCAPSULATION METHOD.
TIDE EINHUeLLUNGSSVERFAHREN.
TIFR PROCEDE D'ENCAPSULAGE.
IN **LAAKSO**, Timo, Boltensternsvaeg 33D, S-236 38 Hoellviken, SE;
RESLOW, Mats, Bondevaegen 45, S-227 38 Lund, SE
PA JAGOTEC AG, Eptingerstrasse 51, 4132 Muttenz, CH
SO Wila-EPS-2003-H38-T1
DS R AT; R BE; R CH; R DE; R DK; R ES; R FI; R FR; R GB; R GR; R IE; R IT; R LI; R LU; R NL; R PT; R SE
PIT EPB1 EUROPAEISCHE PATENTSCHRIFT (Internationale Anmeldung)
PI EP 1033973 B1 20030917
OD 20000913
AI EP 1998-948005 19980924
PRAI SE 1997-3874 19971023
RLI WO 98-SE1717 980924 INTAKZ
WO 99020253 990429 INTPNR
REP EP 52510 A2 US 4384975 A
US 4568559 A US 4652441 A
US 5407609 A
IC ICM A61K009-14
ICS A61K009-50 B01J013-00

L14 ANSWER 3 OF 3 EUROPATFULL COPYRIGHT 2004 WILA on STN

GRANTED PATENT - ERTEILTES PATENT - BREVET DELIVRE

AN 869774 EUROPATFULL ED 20021212 EW 200249 FS PS
TIEN METHOD FOR MANUFACTURING SUSTAINED RELEASE PARTICLES.
TIDE METHODE ZUR HERSTELLUNG VON PARTIKELN MIT VERZOEGERTER FREISETZUNG.

TIFR METHODE DE FABRICATION DE PARTICULES A DIFFUSION PROLONGEE.
IN GUSTAFSSON, Nils-Ove, Hippodromvaegen 7, S-246 50 Loeddekoepinge, SE;
LAAKSO, Timo, Boltensterns vaeg 33D, S-236 38 Hoellviken, SE;
FYHR, Peter, Loejtnantsvaegen 9, S-237 32 Bjaerred, SE;
JOeNSSON, Monica, Sigvard Grubbes gata 1, S-230 40 Bara, SE
PA BIOGLAN AB, P.O. Box 50310, 202 13 Malmoe, SE
SO Wila-EPS-2002-H49-T1
DS R AT; R BE; R CH; R DE; R DK; R ES; R FI; R FR; R GB; R GR; R IE; R IT;
R LI; R LU; R NL; R PT; R SE
PIT EPB1 EUROPAEISCHE PATENTSCHRIFT (Internationale Anmeldung)
PI EP 869774 B1 20021204
OD 19981014
AI EP 1996-935641 19960903
PRAI SE 1995-3672 19951019
RLI WO 96-SE1091 960903 INTAKZ
WO 97001091 970424 INTPNR
REP EP 535937 A US 4568559 A
IC ICM A61K009-16

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